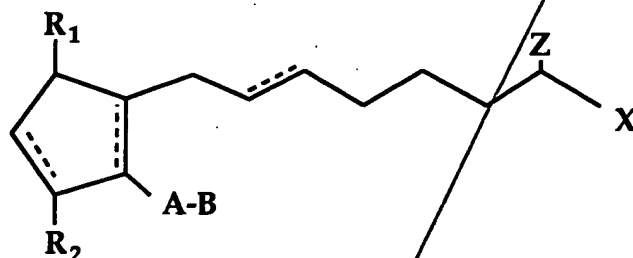


**CLAIMS**

1. A method of treating ocular hypertension which comprises  
 5 applying to the eye an amount sufficient to treat ocular hypertension  
 of a compound of formula I

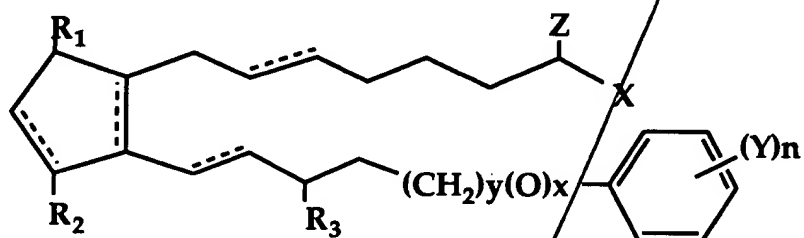


- wherein the dashed bonds represent a single or double bond which  
 can be in the cis or trans configuration, A is an alkylene or  
 10 alkenylene radical having from two to six carbon atoms, which  
 radical may be interrupted by one or more oxide radicals and  
 substituted with one or more hydroxy, oxo, alkyloxy or alkylcarboxy  
 groups wherein said alkyl radical comprises from one to six carbon  
 atoms; B is a cycloalkyl radical having from three to seven carbon  
 15 atoms, or an aryl radical, selected from the group consisting of  
 hydrocarbyl aryl and heteroaryl radicals having from four to ten  
 carbon atoms wherein the heteroatom is selected from the group  
 consisting of nitrogen, oxygen and sulfur atoms; X is a radical selected  
 from the group consisting of  $-OR^4$  and  $-N(R^4)_2$  wherein  $R^4$  is selected  
 20 from the group consisting of hydrogen, a lower alkyl radical having  
 from one to six

- carbon atoms,  $R^5-\overset{\text{O}}{\parallel}{C}-$  or  $R^5-O-\overset{\text{O}}{\parallel}{C}-$  wherein  $R^5$  is a lower alkyl radical  
 having from one to six carbon atoms; Z is  $=O$  or represents 2  
 25 hydrogen radicals; one of  $R_1$  and  $R_2$  is  $=O$ ,  $-OH$  or a  $-O(CO)R_6$  group,  
 and the other one is  $-OH$  or  $-O(CO)R_6$ , or  $R_1$  is  $=O$  and  $R_2$  is H,  
 wherein  $R_6$  is a saturated or unsaturated acyclic hydrocarbon group  
 having from 1 to about 20 carbon atoms, or  $-(CH_2)_mR_7$  wherein m is  
 0-10, and  $R_7$  is cycloalkyl radical, having from three to seven carbon  
 30 atoms, or a hydrocarbyl aryl or heteroaryl radical, as defined above, or  
 a pharmaceutically-acceptable salt thereof, provided however that

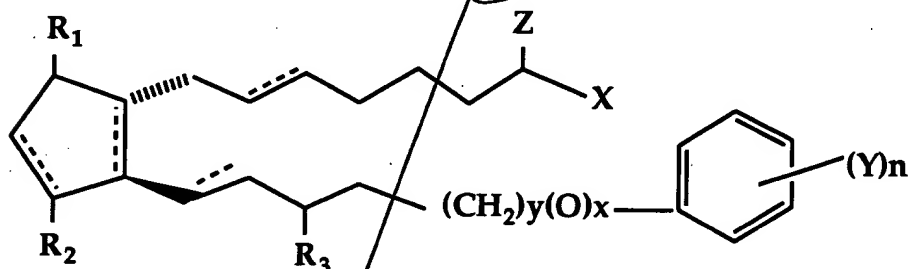
when ~~B~~ is not substituted with a pendant heteroatom-containing radical and Z is =O, then X is not -OR<sup>4</sup>.

2. The method of Claim 1 wherein said compound is a  
5 represented by the formula (II)



wherein  $y$  is 0 or 1,  $x$  is 0 or 1 and  $x+y$  are not both 1,  $Y$  is a radical selected from the group consisting of alkyl, halo, nitro, amino, thiol, hydroxy, alkyloxy, alkylcarboxy and halosubstituted alkyl, wherein  
10 said alkyl radical comprises from one to six carbon atoms,  $n$  is 0 or an integer of from 1 to 3 and  $R_3$  is =O, -OH or -O(CO) $R_6$ .

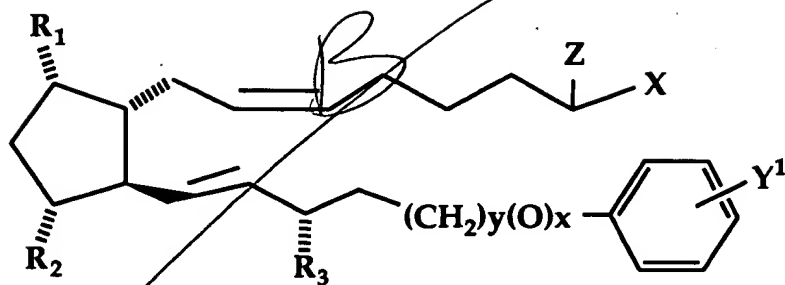
3. The method of claim 2 wherein said compound is represented  
15 by formula III.



wherein hatched lines indicate the  $\alpha$  configuration and solid triangles indicate the  $\beta$  configuration.

4. The method of claim 3 wherein said compound is represented  
20 by the formula IV.

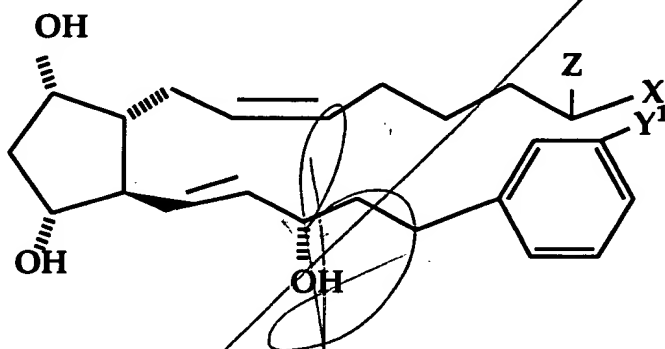
25



wherein  $Y^1$  is Cl or trifluoromethyl.

5

5. The method of claim 4 wherein said compound is a represented by the formula V



and the 9- and/or 11- and/or 15 esters, thereof.

10

6. The method of claim 5 wherein Z is =O and X is selected from the group consisting of  $NH_2$  or  $OCH_3$ .

7. The method of claim 5 wherein  $Y^1$  is Cl or trifluoromethyl,  $Y$  is  $\ominus$ , Z is =O and X is selected from the group consisting of alkoxy and amido radicals.

15

8. The method of claim 1 wherein said compound is selected from the group consisting of:

20

cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

- cyclopentane N,N-dimethylheptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 5 cyclopentane heptenyl methoxide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- cyclopentane heptenyl ethoxide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 10 cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 15 cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethyl-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- cyclopentane N-isopropyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 20 cyclopentane N-ethyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- cyclopentane N-methyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 25 cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-4-m-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];
- 30 cyclopentane heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-4-m-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ] and
- cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-5-phenylpentyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ]

Sub B<sup>2</sup> 9. The method of claim 7 wherein X is selected from the group consisting of NH<sub>2</sub> and OCH<sub>3</sub>.

5 10. The method of claim 1 wherein said compound is selected from the group consisting of:

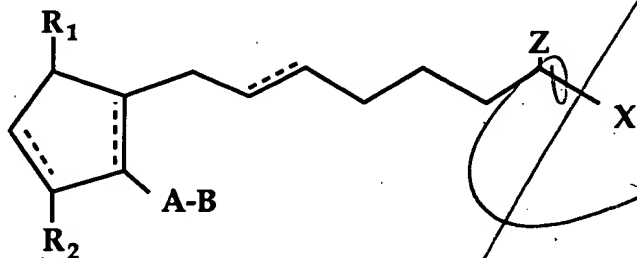
cyclopentane heptenoic acid-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chloro-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

10 cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chloro-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

15 cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethylphenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ]; and

cyclopentane heptenonic acid-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethyl-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ].

20 11. A method of treating cardiovascular pulmonary-respiratory, gastrointestinal, reproductive and allergic diseases and shock in a human which comprises administering to said human an effective amount of a compound of formula I



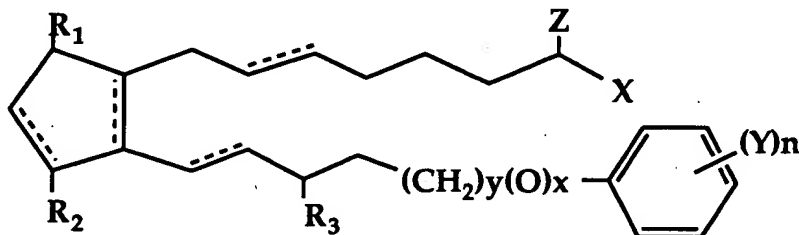
25 wherein the dashed bonds represent a single or double bond which can be in the cis or trans configuration, A is an alkylene or alkenylene radical having from two to six carbon atoms, which radical may be interrupted by one or more oxide radicals and substituted with one or more hydroxy, oxo, alkyloxy or alkylcarboxy groups wherein said alkyl radical comprises from one to six carbon

30

atoms, or an aryl radical, selected from the group consisting of hydrocarbyl aryl and heteroaryl radicals having from four to ten carbon atoms wherein the heteroatom is selected from the group consisting of nitrogen, oxygen and sulfur atoms; X is a radical selected from the group consisting of  $-OR^4$  and  $-N(R^4)_2$  wherein  $R^4$  is selected from the group consisting of hydrogen, a lower alkyl radical having from one to six

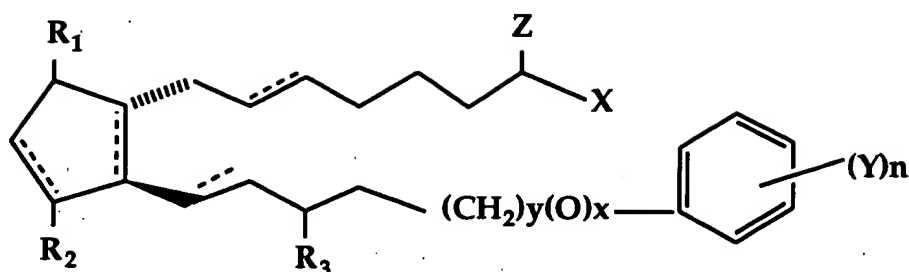
carbon atoms,  $R^5-\overset{\text{O}}{\parallel}{C}-$  or  $R^5-\overset{\text{O}}{\parallel}{C}-$  wherein  $R^5$  is a lower alkyl radical having from one to six carbon atoms; Z is  $=O$  or represents 2 hydrogen radicals; one of  $R_1$  and  $R_2$  is  $=O$ ,  $-OH$  or a  $-O(CO)R_6$  group, and the other one is  $-OH$  or  $-O(CO)R_6$ , or  $R_1$  is  $=O$  and  $R_2$  is H, wherein  $R_6$  is a saturated or unsaturated acyclic hydrocarbon group having from 1 to about 20 carbon atoms, or  $-(CH_2)_mR_7$  wherein m is 0-10, and  $R_7$  is cycloalkyl radical, having from three to seven carbon atoms, or a hydrocarbyl aryl or heteroaryl radical, as defined above, or a pharmaceutically-acceptable salt thereof, provided however that when B is not substituted with a pendant heteroatom-containing radical and Z is  $=O$ , then X is not  $-OR^4$ .

12. The method of Claim ~~1~~<sup>11</sup> wherein said compound is a represented by the formula (II)



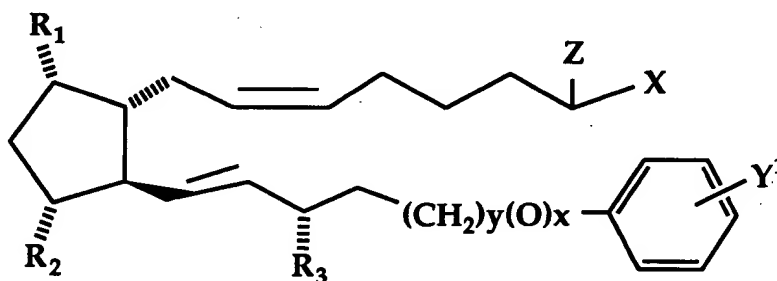
wherein y is 0 or 1, x is 0 or 1 and x+y are not both 1, Y is a radical selected from the group consisting of alkyl, halo, nitro, amino, thiol, hydroxy, alkyloxy, alkylcarboxy and halosubstituted alkyl, wherein said alkyl radical comprises from one to six carbon atoms, n is 0 or an integer of from 1 to 3 and  $R_3$  is  $=O$ ,  $-OH$  or  $-O(CO)R_6$ .

13. The method of claim ~~1~~<sup>12</sup> wherein said compound is represented by formula III.



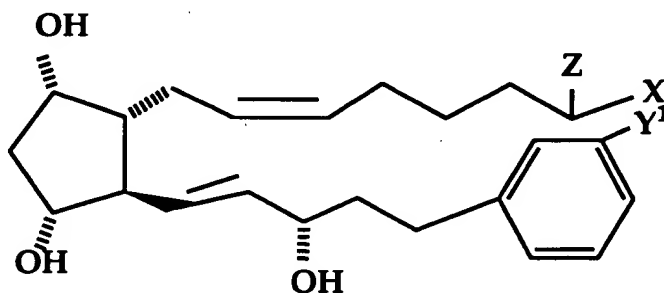
wherein hatched lines indicate the  $\alpha$  configuration and solid triangles indicate the  $\beta$  configuration.

14. The method of claim <sup>13</sup> wherein said compound is represented by the formula IV.



wherein  $Y^1$  is Cl or trifluoromethyl.

15. The method of claim <sup>14</sup> wherein said compound is a represented by the formula V



and the 9- and/or 11- and/or 15 esters, thereof.

16. The method of claim <sup>15</sup> wherein Z is =O and X is selected from the group consisting of  $NH_2$  or  $OCH_3$ .

17. The method of claim 5 wherein Y is O, Z is =O and X is selected from the group consisting of alkoxy and amido radicals.

18. The method of claim 17 wherein said compound is selected from the group consisting of:

cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane N,N-dimethylheptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenyl methoxide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenyl ethoxide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethyl-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane N-isopropyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane N-ethyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane N-methyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];



cyclopentane N-methyl heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-5-phenyl-1-trans-pentenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

5 cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-4-m-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenamide-5-cis-2-(3 $\alpha$ -hydroxy-4-m-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ] and

10

cyclopentane heptenol-5-cis-2-(3 $\alpha$ -hydroxy-5-phenylpentyl)3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ]

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19. The method of claim <sup>17</sup>7 wherein X is selected from the group consisting of NH<sub>2</sub> and OCH<sub>3</sub>.

20. The method of claim <sup>11</sup>1 wherein said compound is selected from the group consisting of:

20

cyclopentane heptenoic acid-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chlorophenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];

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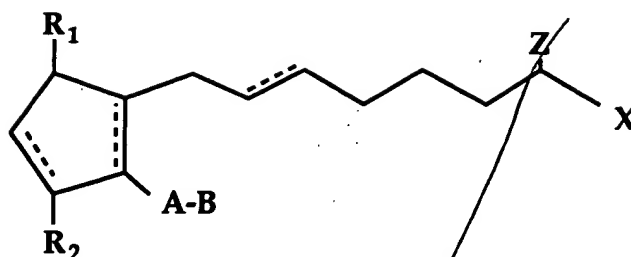
cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethyl-phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ]; and

30

cyclopentane heptenonic acid-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-trifluoromethylphenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ].

35

21. A compound useful for treating cardiovascular pulmonary-respiratory, gastrointestinal, reproductive and allergic diseases and



wherein the dashed bonds represent a single or double bond which can be in the cis or trans configuration, A is an alkylene or alkenylene radical having from two to six carbon atoms, which radical may be interrupted by one or more oxide radicals and substituted with one or more hydroxy, oxo, alkyloxy or alkylcarboxy groups wherein said alkyl radical comprises from one to six carbon atoms; B is a cycloalkyl radical having from three to seven carbon atoms, or an aryl radical, selected from the group consisting of hydrocarbyl aryl and heteroaryl radicals having from four to ten carbon atoms wherein the heteroatom is selected from the group consisting of nitrogen, oxygen and sulfur atoms; X is a radical selected from the group consisting of  $\text{OR}^4$  and  $\text{-N(R}^4\text{)}_2$  wherein  $\text{R}^4$  is selected from the group consisting of hydrogen, a lower alkyl radical having from one to six

carbon atoms,  $\text{R}^5\text{-C(=O)-}$  or  $\text{R}^5\text{-O-C(=O)-}$  wherein  $\text{R}^5$  is a lower alkyl radical having from one to six carbon atoms; Z is  $\text{=O}$  or represents 2 hydrogen radicals; one of  $\text{R}_1$  and  $\text{R}_2$  is  $\text{=O}$ ,  $\text{-OH}$  or a  $\text{-O(CO)R}_6$  group, and the other one is  $\text{-OH}$  or  $\text{-O(CO)R}_6$ , or  $\text{R}_1$  is  $\text{=O}$  and  $\text{R}_2$  is  $\text{H}$ , wherein  $\text{R}_6$  is a saturated or unsaturated acyclic hydrocarbon group having from 1 to about 20 carbon atoms, or  $\text{-(CH}_2\text{)}_m\text{R}_7$  wherein  $m$  is 0-10, and  $\text{R}_7$  is cycloalkyl radical, having from three to seven carbon atoms, or a hydrocarbyl aryl or heteroaryl radical, as defined above, or a pharmaceutically-acceptable salt thereof, provided however that when  $\text{Z is = O, then X is not -OR}^4$  ~~B is not substituted with a pendant heteroatom-containing radical and Z is  $\text{-O}$ , then X is not  $\text{-OR}^4$ .~~

A

22. The compound of claim 21 wherein said compound is selected from the group consisting of

5 ~~cyclopentane heptenoic acid-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chloro-  
phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ];~~

cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-chloro-  
phenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ , 5 $\alpha$ ]; and

10

cyclopentane heptenylamide-5-cis-2-(3 $\alpha$ -hydroxy-4-meta-  
trifluoromethylphenoxy-1-trans-butenyl)-3, 5-dihydroxy, [1 $\alpha$ , 2 $\beta$ , 3 $\alpha$ ,  
5 $\alpha$ ].

15 23. A pharmaceutical composition comprising a therapeutically  
effective amount of a compound according to claim 21 in admixture  
with a non-toxic, pharmaceutically acceptable liquid vehicle.

20 24. A pharmaceutical composition comprising a therapeutically  
effective amount of a compound according to claim 22 in admixture  
with a non-toxic, pharmaceutically acceptable liquid vehicle.

25 25. A method of treating ocular hypertension, <sup>or glaucoma</sup> which comprises  
applying to the eye an amount sufficient to treat ocular hypertension  
of a compound selected from the group consisting of cloprostenol,  
fluprostenol and their pharmaceutically acceptable esters and salts.

add  
A 2